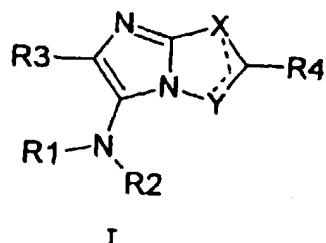


WE CLAIM:

1. A bicyclic imidazo-5-yl-amine of formula I



wherein

R¹ denotes C(CH₃)₃; (CH₂)₆CN; optionally substituted phenyl; C₄-C₈-cycloalkyl; CH₂CH₂R (R = 4-morpholino); 1,1,3,3-tetramethylbutyl; or CH₂R^a, wherein R^a represents hydrogen, branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl, CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), PO(OR'')₂ (where R'' = branched or unbranched C₁-C₄-alkyl) or Si(R^xR^yR^z) (where R^x, R^y and R^z in each case independently of one another are branched or unbranched C₁-C₈-alkyl, C₄-C₈-cycloalkyl or phenyl),

R² denotes hydrogen; COR^b, wherein R^b represents hydrogen, branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, CH₂CH₂CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), adamantly, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thiazolyl or furoyl; CH₂R^c, wherein R^c represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; CH₂CH₂R^d, wherein R^d represents optionally substituted phenyl; or CONHR^e, wherein R^e represents phenyl,

R³ denotes branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, quinoline, anthracene, phenanthrene, benzothiophene, benzofurfuryl, optionally

substituted pyrrole, 2-pyridyl, 3-pyridyl, 4-pyridyl, optionally substituted furfuryl or optionally substituted thiophene,

X denotes CR⁵, N or S, and

Y is N, or if X is S, then Y may also be CR⁶,

R⁴, R⁵ and R⁶ independently of one another denote hydrogen; branched or unbranched C₁-C₈-alkyl; fluorine; chlorine; bromine; CF₃; CN; NO₂; NHR^f, wherein R^f represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; SR^g, wherein R^g represents hydrogen, branched or unbranched C₁-C₈-alkyl, phenyl, pyridine, benzyl or fluorenyl; OR^h, wherein R^h represents branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl or CO(OR') (R' = branched or unbranched C₁-C₈-alkyl); CO(OR') or CH₂CO(OR'), wherein R' in each case has the abovementioned meaning or in the case of the group CH₂CO(OR') also denotes hydrogen, or an optionally substituted phenyl group,

wherein optionally substituted phenyl, optionally substituted 1-naphthyl, optionally substituted pyrrole, optionally substituted furfuryl, optionally substituted thiophene, and optionally substituted alkyl is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄ alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group,

or a pharmaceutically acceptable salt thereof,

excluding compounds in which simultaneously R¹ denotes C(CH₃)₃, R² denotes hydrogen, R³ denotes unsubstituted phenyl, X denotes S, and Y denotes N or CR⁶, where R⁶ = hydrogen or CH₂-CO₂-ethyl, or simultaneously R¹ denotes

C(CH₃)₃, R² denotes hydrogen, R³ denotes unsubstituted phenyl, Y denotes NH, and X denotes N or CR⁵, where R⁵ = CO₂ethyl.

2. A bicyclic imidazo-5-yl-amine according to claim 1,

wherein R³ is a substituted phenyl group selected from the group consisting of 4-acetamidophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 4-bromo-2-fluorophenyl, 5-bromo-2-fluorophenyl, 3-bromo-4-fluorophenyl, 4-*tert*-butylphenyl, 2-chloro-4-fluorophenyl, 2-chloro-6-fluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-cyanophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 4-hexylphenyl, 3-hydroxyphenyl, 2-methoxyphenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-nitrophenyl, 3-phenoxyphenyl, 4-(1-pyrrolidino)phenyl, 2-(trifluoromethyl)phenyl, 3-(trifluoromethyl)phenyl, 4-(trifluoromethyl)phenyl, 3,4,5-trimethoxyphenyl, 3-(4-chlorophenoxy)phenyl and 4-acetoxy-3-methoxyphenyl,

or R³ is a substituted 1-naphthyl group selected from the group consisting of 4-dimethylaminonaphthyl, 2-ethoxynaphthyl and 4-methoxynaphthyl,

or R³ is a substituted pyrrole group selected from the group consisting of 2-(1-(phenylsulfonyl)pyrrole), 2-(N-methylpyrrole), 2-(N-(3,5-dichlorophenyl)pyrrole and 2-(1-(4-chlorophenyl)pyrrole),

or R³ is a substituted furfuryl group selected from the group consisting of 2-(5-acetoxymethylfurfuryl), 2-(5-methylfurfuryl), 2-(5-nitrofurfuryl), 2-[5-(3-nitrophenyl)furfuryl], 2-[5-(2-nitrophenyl)furfuryl], 2-(5-bromofurfuryl), 2-[5-(4-chlorophenyl)furfuryl], 2-(4,5-dimethylfurfuryl), 2-[5-(2-chlorophenyl)furfuryl], 2-(5-ethylfurfuryl) and 2-[5-(1,3-dioxalane)furfuryl],

or R³ is a substituted thiophene group, selected from the group consisting of 2-(5-chlorothiophenyl), 2-(5-methylthiophenyl), 2-(5-ethylthiophenyl), 2-(3-

methylthiophenyl), 2-(4-bromothiophenyl), 2-(5-nitrothiophenyl), 5-(2-carboxythiophenyl), 2-[4-(phenylethyl)thiophenyl], 2-[5-(methylthio)thiophenyl], 2-(3-bromothiophenyl), 2-(3-phenoxythiophenyl) and 2-(5-bromothiophenyl).

3. A bicyclic imidazo-5-yl-amine according to claim 1, wherein R^b is a substituted phenyl group selected from the group consisting of 3,5-bis(trifluoromethyl)phenyl, 2-bromophenyl, 2-fluorophenyl, pentafluorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 2-chlorophenyl, 2,4-dichlorophenyl, 2-acetylphenyl, 2-methoxyphenyl, 2,6-dimethoxyphenyl, 2-(trifluoromethyl)phenyl, 2-methylphenyl, 3-bromophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-dichlorophenyl, 3-methoxyphenyl, 3,4-dimethoxyphenyl, 3,4,5-trimethoxyphenyl, 3,5-dimethoxyphenyl, 3-(trifluoromethyl)phenyl, 3-methoxyphenyl, 4-bromophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-methoxyphenyl, 4-(trifluoromethyl)phenyl, 4-*tert*-butylphenyl, 4-methylphenyl, 2-iodophenyl, 4-iodophenyl, 4-cyanophenyl, 2-nitrophenyl, 3-nitrophenyl, 3,5-dinitrophenyl, 4-nitrophenyl, 3,5-dichlorophenyl, 2,5-difluorophenyl, 2,4-dimethoxyphenyl, 3-nitro-4-methylphenyl, 2,5-dichlorophenyl, 2,3-difluorophenyl, 4-(trifluoromethoxy)phenyl, 2-(trifluoromethoxy)phenyl, and 3-(trifluoromethoxy)phenyl.

4. A bicyclic imidazo-5-yl-amine according to claim 1, wherein R^c is a substituted phenyl group selected from the group consisting of 2-fluorophenyl, 2-chlorophenyl, 2-methylphenyl 2-(trifluoromethyl)phenyl, 2-bromophenyl, 3-methoxyphenyl, 3-nitrophenyl, 3-chlorophenyl, 3-fluorophenyl, 3-phenoxyphenyl, 3-(trifluoromethoxy)phenyl, 3-bromophenyl, 3-chlorophenyl, 3-methylphenyl, 4-*tert*-butylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-vinylphenyl, 4-(trifluoromethoxy)phenyl, 3,5-dimethoxyphenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)phenyl, 3,5-difluorophenyl, 3,5-dimethylphenyl 2,3-dichlorophenyl, 2,3-dimethylphenyl, 2,3-difluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-4-fluorophenyl, 2,4-di(trifluoromethyl)phenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,4-dimethylphenyl, 2,5-dichlorophenyl, 2,5-dimethylphenyl, 2,5-

difluorophenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3,4-dimethylphenyl, 2,3,4-trifluorophenyl, 2,3,6-trifluorophenyl, 2,4,5-trifluorophenyl, 2,4,6-trimethylphenyl and pentafluorophenyl.

5. A bicyclic imidazo-5-yl-amine according to claim 1, wherein R^d is a substituted phenyl group selected from the group consisting of 3-chlorophenyl, 4-chlorophenyl, 4-carboxyphenyl, 4-acetylphenyl, 4-methoxyphenyl, 4-fluorophenyl, 4-nitrophenyl and 4-hydroxyphenyl.

6. A bicyclic imidazo-5-yl-amine selected from the group consisting of
tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(6-furan-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,
(5-*tert*-butylamino-6-furan-2-yl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,
tert-butyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(6-pyridin-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,
tert-butyl-(5-pyridin-3-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-4-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(6-cyclohexyl-imidazo[2,1-b]thiazol-5-yl)-amine,
tert-butyl-(5-methyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(6-methyl-imidazo[2,1-b]thiazol-5-yl)-amine,
cyclohexyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
cyclohexyl-(6-pyridin-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

(5-cyclohexylamino-6-pyridin-2-yl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

cyclohexyl-(6-pyridin-4-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

cyclohexyl-(6-cyclohexyl-imidazo[2,1-b]thiazol-5-yl)-amine,

(6-cyclohexyl-5-cyclohexylamino-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

(5-cyclohexylamino-6-methyl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

(2,6-dimethyl-phenyl)-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

(2,6-dimethyl-phenyl)-(6-pyridin-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

(2,6-dimethyl-phenyl)-(6-pyridin-3-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

(2,6-dimethyl-phenyl)-(6-pyridin-4-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

methyl (6-cyclohexyl-imidazo[2,1-b]thiazol-5-ylamino)-acetate,

methyl (6-methyl-imidazo[2,1-b]thiazol-5-ylamino)-acetate,

tert-butyl-(2-phenyl-5H-imidazo[1,2-b]pyrazol-3-yl)-amine,

3-(5-*tert*-butylamino-imidazo[2,1-b]thiazol-6-yl)-phenol,

tert-butyl-[6-(3,4-dimethoxy-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

tert-butyl-[5-(2,3-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[6-(2,3-dichloro-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,
tert-butyl-[5-(2,4-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[6-(2,4-dichloro-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,
tert-butyl-[5-(2-methoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[6-(2-methoxy-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,
[5-*tert*-butylamino-6-(2-methoxy-phenyl)-imidazo[2,1-b]thiazol-3-yl]-acetic acid,
tert-butyl-(5-o-tolyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(6-o-tolyl-imidazo[2,1-b]thiazol-5-yl)-amine,
tert-butyl-[5-(2,3-dimethoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[6-(2,3-dimethoxy-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,
tert-butyl-(6-p-tolyl-imidazo[2,1-b]thiazol-5-yl)-amine,
(5-*tert*-butylamino-6-methyl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,
N-*tert*-butyl-N-(6-phenyl-imidazo[2,1-b]thiazol-5-yl)-acetamide,
N-*tert*-butyl-N-(6-o-tolyl-imidazo[2,1-b]thiazol-5-yl)-acetamide,
butyl-[6-(4-*tert*-butyl-phenyl)-2-methyl-imidazo[2,1-b]thiazol-5-yl]amine,

tert-butyl-[5-(2-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[6-(2-fluorophenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

tert-butyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

cyclohexyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

[5-(2-bromophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

N-[4-(6-cyclohexylamino-imidazo[1,2-b][1,2,4]triazol-5-yl)-phenyl]-acetamide,

tert-butyl-[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

cyclohexyl-[6-(2,4-dimethyl-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

cyclohexyl-[6-(2,5-dimethylphenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

N-*tert*-butyl-N-(6-p-tolyl-imidazo[2,1-b]thiazol-5-yl)-acetamide,

[5-(2,4-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

N-butyl-N-[5-(2-chloro-6-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-acetamide and

N-butyl-N-[6-(4-*tert*-butyl-phenyl)-2-methyl-imidazo[2,1-b]thiazol-5-yl]-acetamide

or a pharmaceutically acceptable salt thereof.

7. A pharmaceutical composition comprising at least one pharmaceutically active bicyclic imidazo-5-yl-amine according to Claim 1, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient.

8. A pharmaceutical composition according to Claim 7, wherein the at least one bicyclic imidazo-5-yl-amine is selected from the group consisting of

tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(6-furan-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

(5-*tert*-butylamino-6-furan-2-yl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

tert-butyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(6-pyridin-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

tert-butyl-(5-pyridin-3-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(5-pyridin-4-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(6-cyclohexyl-imidazo[2,1-b]thiazol-5-yl)-amine,

tert-butyl-(5-methyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(6-methyl-imidazo[2,1-b]thiazol-5-yl)-amine,

cyclohexyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

cyclohexyl-(6-pyridin-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

(5-cyclohexylamino-6-pyridin-2-yl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

cyclohexyl-(6-pyridin-4-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

cyclohexyl-(6-cyclohexyl-imidazo[2,1-b]thiazol-5-yl)-amine,

(6-cyclohexyl-5-cyclohexylamino-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

(5-cyclohexylamino-6-methyl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

(2,6-dimethyl-phenyl)-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

(2,6-dimethyl-phenyl)-(6-pyridin-2-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

(2,6-dimethyl-phenyl)-(6-pyridin-3-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

(2,6-dimethyl-phenyl)-(6-pyridin-4-yl-imidazo[2,1-b]thiazol-5-yl)-amine,

methyl (6-cyclohexyl-imidazo[2,1-b]thiazol-5-ylamino)-acetate,

methyl (6-methyl-imidazo[2,1-b]thiazol-5-ylamino)-acetate,

tert-butyl-(2-phenyl-5H-imidazo[1,2-b]pyrazol-3-yl)-amine,

3-(5-*tert*-butylamino-imidazo[2,1-b]thiazol-6-yl)-phenol,

tert-butyl-[6-(3,4-dimethoxy-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

tert-butyl-[5-(2,3-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[6-(2,3-dichloro-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

tert-butyl-[5-(2,4-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[6-(2,4-dichloro-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

tert-butyl-[5-(2-methoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[6-(2-methoxy-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,
[5-*tert*-butylamino-6-(2-methoxy-phenyl)-imidazo[2,1-b]thiazol-3-yl]-acetic acid,

tert-butyl-(5-o-tolyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

tert-butyl-(6-o-tolyl-imidazo[2,1-b]thiazol-5-yl)-amine,

tert-butyl-[5-(2,3-dimethoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[6-(2,3-dimethoxy-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

tert-butyl-(6-p-tolyl-imidazo[2,1-b]thiazol-5-yl)-amine,

(5-*tert*-butylamino-6-methyl-imidazo[2,1-b]thiazol-3-yl)-acetic acid,

N-*tert*-butyl-N-(6-phenyl-imidazo[2,1-b]thiazol-5-yl)-acetamide,

N-*tert*-butyl-N-(6-o-tolyl-imidazo[2,1-b]thiazol-5-yl)-acetamide,

butyl-[6-(4-*tert*-butyl-phenyl)-2-methyl-imidazo[2,1-b]thiazol-5-yl]amine,

tert-butyl-[5-(2-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

tert-butyl-[6-(2-fluorophenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

tert-butyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

cyclohexyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

[5-(2-bromophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

N-[4-(6-cyclohexylamino-imidazo[1,2-b][1,2,4]triazol-5-yl)-phenyl]-acetamide,

tert-butyl-[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

cyclohexyl-[6-(2,4-dimethyl-phenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

cyclohexyl-[6-(2,5-dimethylphenyl)-imidazo[2,1-b]thiazol-5-yl]-amine,

N-*tert*-butyl-N-(6-p-tolyl-imidazo[2,1-b]thiazol-5-yl)-acetamide,

[5-(2,4-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

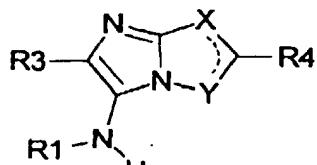
[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

N-butyl-N-[5-(2-chloro-6-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-acetamide and

N-butyl-N-[6-(4-*tert*-butyl-phenyl)-2-methyl-imidazo[2,1-b]thiazol-5-yl]-acetamide.

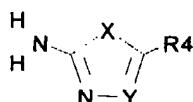
9. A method for the treatment of pain, comprising administering to a patient in need thereof an effective pain-alleviating amount of a pharmaceutical composition according to Claim 7.

10. A process for the preparation of a bicyclic imidazo-5-yl-amine of Formula Ia,



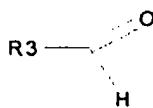
Ia

the process being three-component reaction and comprising reacting an amidine of Formula II



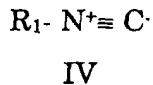
II

with an aldehyde of Formula III



III

and an isonitrile of Formula IV



in the presence of 20% perchloric acid,

wherein in all formulae,

R¹ denotes C(CH₃)₃, (CH₂)₆CN, optionally substituted phenyl, C₄-C₈-cycloalkyl, CH₂CH₂R (R = 4-morpholino), 1,1,3,3-tetramethylbutyl or CH₂R^a, wherein R^a represents hydrogen, branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl, CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), PO(OR'')₂ (where R'' = branched or unbranched C₁-C₄-alkyl) or Si(R^xR^yR^z) (where R^x, R^y and R^z in each case independently of one another are branched or unbranched C₁-C₈-alkyl, C₄-C₈-cycloalkyl or phenyl),

R³ denotes branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, quinoline, anthracene, phenanthrene, benzothiophene, benzofurfuryl, optionally substituted pyrrole, 2-pyridyl, 3-pyridyl, 4-pyridyl, optionally substituted furfuryl or optionally substituted thiophene,

X denotes CR⁵, N or S,

Y is N, or if X is S, then Y may also be CR⁶,

R⁴, R⁵ and R⁶ independently of one another denote hydrogen; branched or unbranched C₁-C₈-alkyl; fluorine; chlorine; bromine; CF₃; CN; NO₂; NHR^f, wherein R^f represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; SR^g, wherein R^g represents hydrogen, branched or unbranched C₁-C₈-alkyl, phenyl, pyridine, benzyl or fluorenyl; OR^h, wherein R^h represents branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl or CO(OR') (R' = branched or unbranched C₁-C₈-alkyl); CO(OR') or CH₂CO(OR'), wherein R' in each case has the abovementioned meaning or in the case of the group CH₂CO(OR') also denotes hydrogen, or an optionally substituted phenyl group,

wherein optionally substituted phenyl, optionally substituted 1-naphthyl, optionally substituted pyrrole, optionally substituted furfuryl, optionally substituted thiophene, and optionally substituted alkyl is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄ alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group,

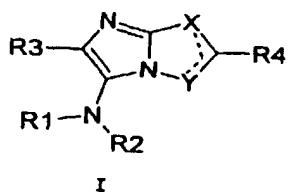
excluding compounds wherein R¹ denotes C(CH₃)₃, R³ denotes unsubstituted phenyl, X denotes S, and Y denotes N or CR⁶, where R⁶ = hydrogen or CH₂-CO₂-ethyl, or wherein R¹ denotes C(CH₃)₃, R³ denotes unsubstituted phenyl, Y denotes NH, and X denotes N or CR⁵, where R⁵ = CO₂ethyl,

11. A process according to Claim 10, wherein the reaction is carried out in methylene chloride at a temperature of 0°C to 40°C.

12. A process according to Claim 11, wherein the temperature is between 10°C and 20°C.

13. A process according to Claim 11, wherein the compound of Formula II is selected from the group consisting of 3-aminopyrazole, 3-amino-1,2,4-triazole, 2-amino-1,3,4-thiadiazole and 2-aminothiazole.

14. A process for the preparation of a bicyclic imidazo-5-yl-amine of Formula I



the process comprising reacting a compound of Formula Ia according to Claim 12 with a compound R²Hal, wherein Hal represents bromine, iodine or chlorine, or with an optionally substituted isocyanate R^eNCO in the presence of a morpholine resin in methylene chloride for 2 to 24 hours at a temperature between 10°C and 40°C,

wherein optionally substituted isocyanate is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄ alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group.

15. The process of Claim 14, wherein after the reaction excess reagents are removed by filtration through a layer of polymer-bonded tris(2-aminoethyl) amine.

16. The process of Claim 14, wherein the compound of Formula Ia is first dissolved in methylene chloride or THF.

17. The process according to Claim 14, wherein R²Hal is an optionally substituted alkyl chloride, aryl chloride or hydrogen chloride.

18. The process of Claim 14, wherein the morpholine resin is a polystyrene-morpholine resin.

[0090] Example 55

N-Butyl-N-[5-(2-chloro-6-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-acetamide (55)

Compound 55 was prepared in accordance with the general synthesis instructions from 1.0 ml (0.1 mmol) 3-amino-1,2,4-triazole solution (0.1 M, MC), 0.575 ml (0.115 mmol) n-butyronitrile solution (0.2 M, MC), 0.500 ml (0.15 mmol) 2-chloro-6-fluorobenzaldehyde solution (0.3 M, MC) and 10 μ l perchloric acid (w = 20%) and by reaction with acetyl chloride, the excess acetyl chloride being removed in vacuo.

An ESI-MS was recorded for characterization.

Mass found: 350.4

[0091] Example 56

N-Butyl-N-[6-(4-*tert*-butyl-phenyl)-2-methyl-imidazo[2,1-b]thiazol-5-yl]-acetamide (56)

Compound 56 was prepared in accordance with the general synthesis instructions from 1.0 ml (0.1 mmol) 2-amino-5-methylthiazole solution (0.1 M, MC), 0.575 ml (0.115 mmol) n-butyronitrile solution (0.2 M, MC), 0.500 ml (0.15 mmol) 4-*tert*-butylbenzaldehyde solution (0.3 M, MC) and 10 μ l perchloric acid (w = 20%) and by reaction with acetyl chloride, the excess acetyl chloride being removed in vacuo.

An ESI-MS was recorded for characterization.

Mass found: 384.5

[0092] The compounds according to the invention are ligands of the pain-relevant α_2 -subtype of the human α -adrenergic receptor. The affinity for the α_2 -subtype of the human α -adrenergic receptor was determined by means of a

conventional SPA assay for high throughput screening, such as is described in John P. Devlin, High Throughput Screening, Marcel Dekker Inc. 1997, page 307 to 316. This literature is incorporated herein by reference and thus forms part of the disclosure. The following affinities were determined at a concentration of 10 μM :

	alpha2 affinity, 10 μM
Example 39	35%
Example 40	77%
Example 41	50%
Example 42	36%
Example 43	34%
Example 44	38%
Example 45	41%
Example 46	46%
Example 47	42%
Example 48	36%
Example 49	38%
Example 50	36%
Example 51	39%
Example 52	51%
Example 53	43%
Example 54	56%
Example 55	39%
Example 56	46%

[0093] The foregoing disclosure has been set forth merely to illustrate the invention and is not intended to be limiting. Since modifications of the disclosed embodiments incorporating the spirit and substance of the invention may occur to persons skilled in the art, the invention should be construed to broadly include everything within the scope of the appended claims and equivalents thereof.